

Connecting via Winsock to STN

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADO
NEWS	4	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	5	MAR 02	GBFULL: New full-text patent database on STN
NEWS	6	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	9	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	10	MAR 22	PATDPASPC - New patent database available
NEWS	11	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	12	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	13	APR 04	EMBASE - Database reloaded and enhanced
NEWS	14	APR 18	New CAS Information Use Policies available online
NEWS	15	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	16	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS
NEWS	17	MAY 23	GBFULL enhanced with patent drawing images
NEWS	18	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	19	JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available
NEWS	20	JUN 13	RUSSIAPAT: New full-text patent database on STN
NEWS	21	JUN 13	FRFULL enhanced with patent drawing images
NEWS	22	JUN 27	MARPAT displays enhanced with expanded G-group definitions and text labels
NEWS	23	JUL 01	MEDICONF removed from STN
NEWS	24	JUL 07	STN Patent Forums to be held in July 2005
NEWS	25	JUL 13	SCISEARCH reloaded
NEWS	26	JUL 20	Powerful new interactive analysis and visualization software, STN AnaVist, now available
NEWS EXPRESS			JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:29:57 ON 10 AUG 2005

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:30:12 ON 10 AUG 2005

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COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 AUG 2005 HIGHEST RN 859282-03-4

DICTIONARY FILE UPDATES: 9 AUG 2005 HIGHEST RN 859282-03-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

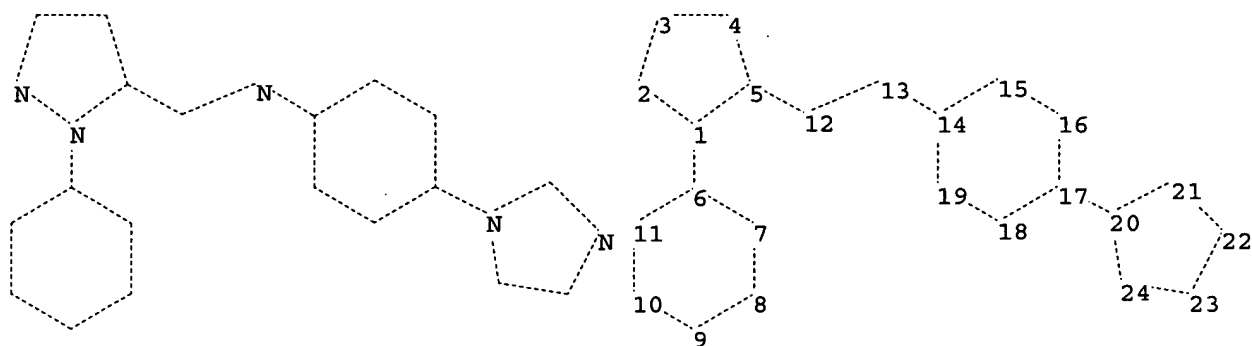
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10826099.str



chain nodes :

12 13

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 14 15 16 17 18 19 20 21 22 23 24

chain bonds :

1-6 5-12 12-13 13-14 17-20

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 14-15 14-19 15-16

16-17 17-18 18-19 20-21 20-24 21-22 22-23 23-24

exact/norm bonds :

1-2 1-5 1-6 2-3 3-4 4-5 5-12 6-7 6-11 7-8 8-9 9-10 10-11 12-13 13-14

14-15 14-19 15-16 16-17 17-18 17-20 18-19 20-21 20-24 21-22 22-23 23-24

isolated ring systems :

containing 1 : 6 : 14 : 20 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

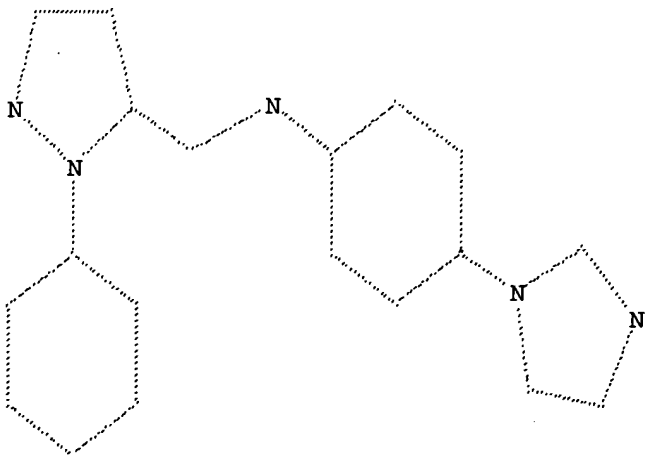
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:30:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 15 TO ITERATE

100.0% PROCESSED 15 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 68 TO 532
PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 12:30:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 371 TO ITERATE

100.0% PROCESSED 371 ITERATIONS 96 ANSWERS
SEARCH TIME: 00.00.01

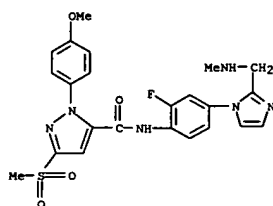
L3 96 SEA SSS FUL L1

=> s l3 and caplus/lc
47569457 CAPLUS/LC
L4 93 L3 AND CAPLUS/LC

=> s l3 not l4
L5 3 L3 NOT L4

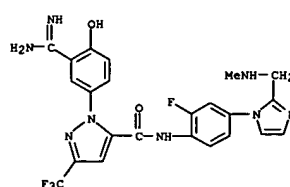
=> d l5 1-3

L5 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 543744-81-6 REGISTRY
 ED Entered STN: 07 Jul 2003
 CN 1H-Pyrazole-5-carboxamide, N-[2-fluoro-4-[2-[(methylamino)methyl]-1H-imidazol-1-yl]phenyl]-1-(4-methoxyphenyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C23 H23 F N6 O4 S
 CI COM
 SR CA



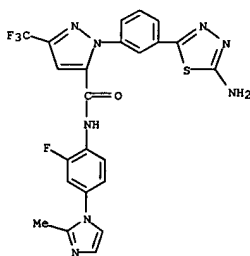
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 540510-35-8 REGISTRY
 ED Entered STN: 01 Jul 2003
 CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)-4-hydroxyphenyl]-N-[2-fluoro-4-[2-[(methylamino)methyl]-1H-imidazol-1-yl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C23 H20 F4 N8 O2
 CI COM
 SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 385832-97-3 REGISTRY
 ED Entered STN: 24 Jan 2002
 CN 1H-Pyrazole-5-carboxamide, 1-[3-(5-amino-1,3,4-thiadiazol-2-yl)phenyl]-N-[2-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C23 H16 F4 N8 O S
 CI COM
 SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> fil caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
172.74	172.95

FILE 'CAPLUS' ENTERED AT 12:32:41 ON 10 AUG 2005
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FILE COVERS 1907 - 10 Aug 2005 VOL 143 ISS 7
FILE LAST UPDATED: 9 Aug 2005 (20050809/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2004:791919 CAPLUS
DOCUMENT NUMBER: 141:342889
TITLE: SAR and factor IXa crystal structure of a dual inhibitor of factors IXa and Xa
AUTHOR(S): Smallheer, Joanne M.; Alexander, Richard S.; Wang, Jianmin; Wang, Shuaige; Nakajima, Suanne; Rossi, Karen

A.: Smallwood, Angela; Barbera, Frank; Burdick, Debra; Luetgen, Joseph M.; Knabb, Robert M.; Wexler, Ruth R.; Jadhav, Prabhakar K.

CORPORATE SOURCE: Bristol-Myers Squibb Company, Princeton, NJ, 08543-5400, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(21), 5263-5267
CODEN: BMCLE8; ISSN: 0960-894X

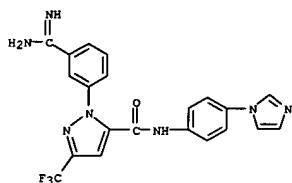
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:342889
AB Modifications to the P4 moiety and pyrazole C3 substituent of factor Xa inhibitor SN-429 provided several new compds., which are 5-10 nM inhibitors of factor IXa. An X-ray crystal structure of one example complexed to factor IXa shows that these compds. adopt a similar binding mode to that previously observed with pyrazole inhibitors in the factor

Xa active site both with regard to how the inhibitor binds and the position of Tyr99.

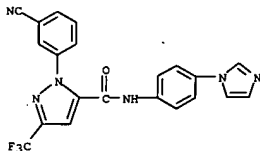
IT 848394-00-3P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
of (pyrazole compds. preparation, crystal structure, and dual inhibition factors IXa and Xa)

RN 848394-00-3 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-([3-(aminoiminomethyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1
CRN 209956-75-2
CMF C21 H16 F3 N7 O



L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



REFERENCE COUNT: 15
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

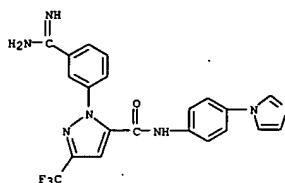
L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

CM 2
CRN 76-05-1
CMF C2 H F3 O2



IT 209956-75-2P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
of (pyrazole compds. preparation, crystal structure, and dual inhibition factors IXa and Xa)

RN 209956-75-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-([3-(aminoiminomethyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT 209955-42-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
of (pyrazole compds. preparation, crystal structure, and dual inhibition factors IXa and Xa)

RN 209955-42-0 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-([3-(cyanophenyl)-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2004:566937 CAPLUS
DOCUMENT NUMBER: 142:219198
TITLE: Discovery of 1-([3'-aminobenzisoxazol-5'-yl]-3-trifluoromethyl-N-[2-fluoro-4-((2'-

dimethylaminomethyl)imidazol-1-yl]phenyl]-1H-pyrazole-5-carboxamide Hydrochloride (Razaxaban), a Highly Potent, Selective, and Orally Bioavailable Factor Xa Inhibitor

AUTHOR(S): Quan, Mimi L.; Lam, Patrick Y. S.; Han, Qi; Pinto, Donald J. P.; He, Ming Y.; Li, Renhua; Ellis, Christopher D.; Clark, Charles G.; Teleha,

A.: Sun, Jung-Hui; Alexander, Richard S.; Bai, Steve;

Luetgen, Joseph M.; Knabb, Robert M.; Wong, Pancras C.; Wexler, Ruth R.

CORPORATE SOURCE: Discovery Chemistry Pharmaceutical Research Institute,

Bristol-Myers Squibb Co., Princeton, NJ, 08543-5400, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1729-1744

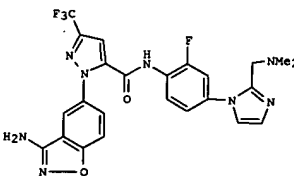
CODEN: JMCMAJ; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

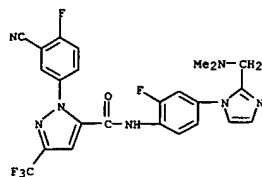
GI



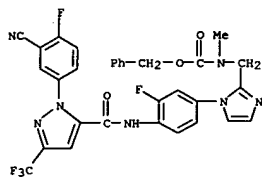
AB Modification of a series of pyrazole factor Xa inhibitors to incorporate an aminobenzisoxazole as the P1 ligand resulted in compds. with improved selectivity for factor Xa relative to trypsin and plasma kallikrein. Further optimization of the P4 moiety led to compds. with enhanced permeability and reduced protein binding. The SAR and pharmacokinetic profile of this series of compds. is described. These efforts culminated in 1-([3'-aminobenzisoxazol-5'-yl]-3-trifluoromethyl-N-[2-fluoro-4-((2'-dimethylaminomethyl)imidazol-1-yl]phenyl)-1H-pyrazole-5-carboxamide (I), a potent, selective, and orally bioavailable inhibitor of factor Xa. On the basis of its excellent in vitro potency and selectivity profile, high free fraction in human plasma, good oral bioavailability, and in vivo efficacy in antithrombotic models, the HCl salt of this compound was selected for clin. development as razaxaban (DPC 906, RMS-561389).

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 IT 405940-74-1P 540510-45-0P 540510-49-4P
 754193-49-2P 754193-50-5P 754193-51-6P
 754193-52-7P 855869-81-7P 855869-83-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of razaxaban and related compds. as potent, selective,

and orally bioavailable factor Xa inhibitors)
 RN 405940-74-1 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-4-fluorophenyl)-N-[4-[2-
 [(dimethylamino)methyl]-1H-imidazol-1-yl]-2-fluorophenyl]-3-
 (trifluoromethyl)- (9CI) (CA INDEX NAME)

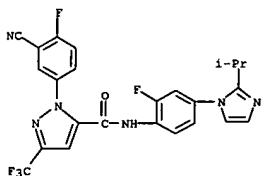


RN 540510-45-0 CAPLUS
 CN Carbamic acid,
 [[1-[4-[[[1-(3-cyano-4-fluorophenyl)-3-(trifluoromethyl)-1H-
 pyrazol-5-yl]carbonyl]amino]-3-fluorophenyl]-1H-imidazol-2-
 yl)methyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

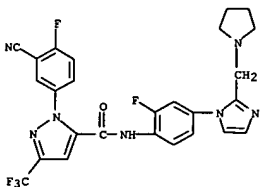


RN 540510-49-4 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-4-fluorophenyl)-N-[4-[2-[[[1,1-
 dimethylethyl]dimethylsilyloxy]methyl]-1H-imidazol-1-yl]-2-fluorophenyl]-
 3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

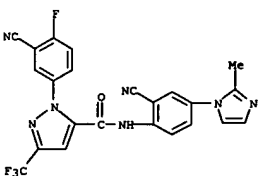
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 754193-52-7 CAPLUS
 CN 1H-Pyrazole-5-carboxamide,
 1-(3-cyano-4-fluorophenyl)-N-[2-fluoro-4-{2-[(1-
 pyrrolidinylmethyl)-1H-imidazol-1-yl]phenyl]-3-(trifluoromethyl)- (9CI)
 (CA INDEX NAME)

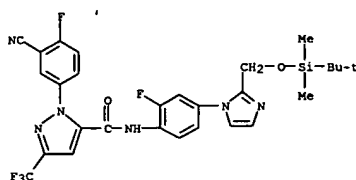


RN 855869-81-7 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-4-fluorophenyl)-N-[2-cyano-4-(2-
 methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX
 NAME)

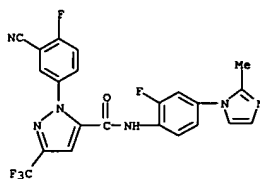


RN 855869-83-9 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-4-fluorophenyl)-N-[2-methoxy-4-(2-
 methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX
 NAME)

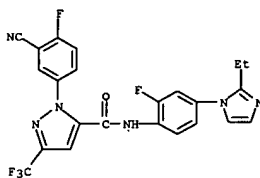
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 754193-49-2 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-4-fluorophenyl)-N-[2-fluoro-4-(2-
 methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX
 NAME)

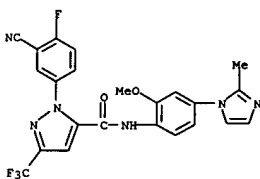


RN 754193-50-5 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-4-fluorophenyl)-N-[4-(2-ethyl-1H-
 imidazol-1-yl)-2-fluorophenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX
 NAME)



RN 754193-51-6 CAPLUS
 CN 1H-Pyrazole-5-carboxamide,
 1-(3-cyano-4-fluorophenyl)-N-[2-fluoro-4-{2-[(1-
 methylethyl)-1H-imidazol-1-yl]phenyl]-3-(trifluoromethyl)- (9CI) (CA
 INDEX NAME)

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX
 NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2004:20490 CAPLUS
DOCUMENT NUMBER: 140:77148

TITLE: Preparation of N-[4-(thioxoheterocyclyl)phenyl]-2-phenyl-2H-pyrazole-3-carboxamides and corresponding imino-heterocyclyl derivatives as inhibitors of the coagulation factors Xa and/or VIIa for treating thrombosis

INVENTOR(S): Cezanne, Bertram; Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Gleitz, Johannes; Barnes, Christopher

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 82 pp.

DOCUMENT TYPE: Patent

LANGUAGE: German

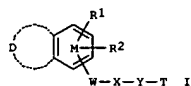
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002477	A1	20040108	WO 2003-EP5898	20030605
WO 2004002477	C1	20040415		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10229070	A1	20040115	DE 2002-10229070	20020628
CA 2491271	AA	20040108	CA 2003-2491271	20030605
EP 1517685	A1	20050330	EP 2003-732540	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			DE 2002-10229070	A 20020628
			WO 2003-EP5898	W 20030605

OTHER SOURCE(S): MARPAT 140:77148

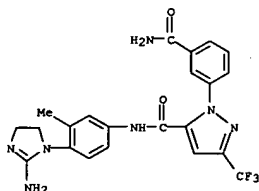
GI



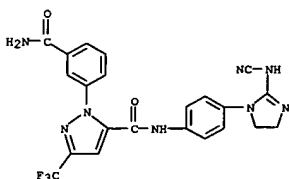
AB Title compds. [I: D = (N-, O-, S-interrupted) (substituted) C3-4 alkylene;

M = Ph, aromatic heterocyclyl; R1, R2 = H, halo, (branched) (interrupted) (substituted) alkyl, NO2, cyano, OR3, N(R3)2, CO2R3, CON(R3)2, C(S)N(R3)2, etc.; R3 = H, (branched) (interrupted) (substituted) alkyl, etc.; W = (substituted) (bi)cyclic aromatic (hetero)cyclyl; X = CONR3,

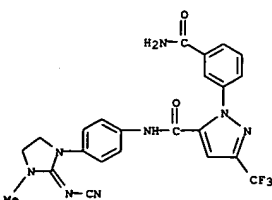
L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



RN 640288-24-0 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)phenyl]-N-[4-(2-(cyanoamino)-4,5-dihydro-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 640288-25-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)phenyl]-N-[4-(2-(cyanoimino)-3-methyl-1-imidazolidinyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

CONR3C(R4)2, C(R4)2NR3, etc.; R4 = H, (branched) (interrupted) (substituted) alkyl; Y = alkylene, cycloalkylene, heterodiy, arylidyl; T = (substituted) (bi)cyclic arom. heterocyclyl, were prepd. Thus, 333 mg

(3-[5-(4-(2-aminopyrrolidin-1-yl)phenyl)carbamoyl]-3-trifluoromethylpyrazol-1-yl)benzyl)carbamoyl acid tert-Bu ester (prepn. given) in EtOH was treated with HCl in ether to give 289 mg

N-[4-(2-aminopyrrolidin-1-yl)phenyl]-1-(3-aminomethylphenyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide. The latter gave affinity to the receptor Xa with IC50 = 9.6·10-9 M and to the receptor VIIa with IC50 = 2.3·10-8 M.

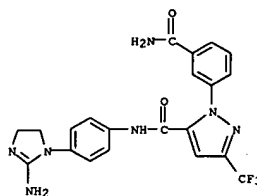
IT 640288-22-EP 640288-23-9P 640288-24-0P

640288-25-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (thioxoheterocyclylphenyl) (phenylpyrazole)carboxamides and corresponding imino-heterocyclyl derivs. as inhibitors of the coagulation factors Xa and/or VIIa for treating thrombosis)

RN 640288-22-8 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)phenyl]-N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 640288-23-9 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)phenyl]-N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)-3-methylphenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
REFERENCE COUNT: 3
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2003:454070 CAPLUS

DOCUMENT NUMBER: 139:36526

TITLE: Preparation of imidazolyphenylpyrazolopyridinones as

factor Xa inhibitors

INVENTOR(S): Quan, Mini L.; Wexler, Ruth R.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 421 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047520	A2	20030612	WO 2002-US38331	20021126
WO 2003047520	A3	20040115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, ME, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG

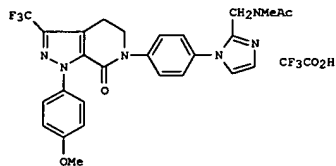
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003212054	A1	20031113	US 2002-304810	20021126
EP 1450800	A2	20040901	EP 2002-791349	20021126

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: US 2001-337051P P 20011204
WO 2002-US38331 W 20021126

OTHER SOURCE(S): MARPAT 139:36526

GI



I

AB Imidazolyphenylpyrazolopyridinones were prepared as factor Xa inhibitors with $K_i \leq 10 \mu M$. Thus, the imidazolyphenylpyrazolopyridinone I was prepared from 4-IC6H4NH2, Br(CH2)4COCl, 4-MeOC6H4NHNH2.HCl, and 2-(N-benzyloxycarbonyl-N-methylaminomethyl)-1H-imidazole in a multistep

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

CM 2

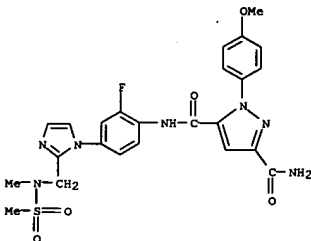
CRN 76-05-1

CHF C2 H F3 O2



RN 543744-29-2 CAPLUS

CN 1H-Pyrazole-3,5-dicarboxamide, N5-[2-fluoro-4-([methyl(methylsulfonyl)amino)methyl]-1H-imidazol-1-yl]phenyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 543744-30-5 CAPLUS

CN 1H-Pyrazole-3,5-dicarboxamide, N5-[2-fluoro-4-([methyl(methylsulfonyl)amino)methyl]-1H-imidazol-1-yl]phenyl]-1-(4-methoxyphenyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 543744-29-2

CHF C24 H24 F N7 O5 S

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

synthesis.

IT 543744-27-0P 543744-28-1P 543744-29-2P

543744-30-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Preparation of imidazolyphenylpyrazolopyridinones as factor Xa

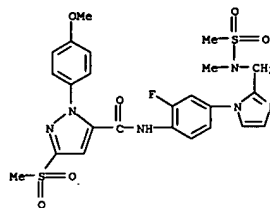
inhibitors)

RN 543744-27-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide,

N-[2-fluoro-4-([methyl(methylsulfonyl)amino]

methyl]-1H-imidazol-1-yl]phenyl]-1-(4-methoxyphenyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 543744-28-1 CAPLUS

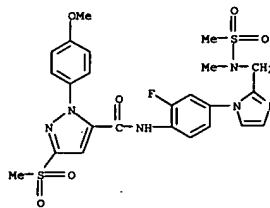
CN 1H-Pyrazole-5-carboxamide,

N-[2-fluoro-4-([methyl(methylsulfonyl)amino] methyl)-1H-imidazol-1-yl]phenyl]-1-(4-methoxyphenyl)-3-(methylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 543744-27-0

CHF C24 H25 F N6 O6 S2



L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

CM 2

CRN 76-05-1

CHF C2 H F3 O2



IT 540510-45-0P 543744-76-9P 543744-80-5P

543744-82-7P 543744-85-0P 543744-86-1P

543744-87-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(Preparation of imidazolyphenylpyrazolopyridinones as factor Xa

inhibitors)

RN 540510-45-0 CAPLUS

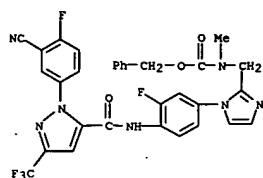
CN Carbamic acid,

[[1-[4-[[[1-(3-cyano-4-fluorophenyl)-3-(trifluoromethyl)-1H-

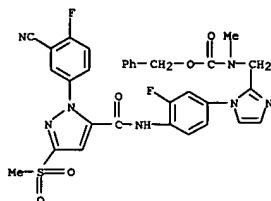
pyrazol-5-yl]carbonyl]amino]-3-fluorophenyl]-1H-imidazol-2-

yl]methyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

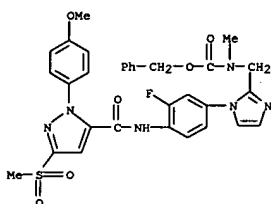
L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



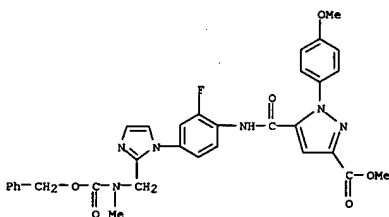
RN 543744-76-9 CAPLUS
CN Carbamic acid, [[1-[4-[[[1-(3-cyano-4-fluorophenyl)-3-(methylsulfonyl)-1H-pyrazol-5-yl]carbonyl]amino]-3-fluorophenyl]-1H-imidazol-2-yl]methyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



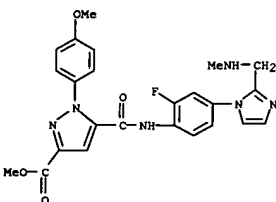
RN 543744-80-5 CAPLUS
CN Carbamic acid, [[1-[3-fluoro-4-[[[1-(4-methoxyphenyl)-3-(methylsulfonyl)-1H-pyrazol-5-yl]carbonyl]amino]phenyl]-1H-imidazol-2-yl]methyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 543744-86-1 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 5-[[[2-fluoro-4-[2-[(methylamino)methyl]-1H-imidazol-1-yl]phenyl]amino]carbonyl]-1-(4-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



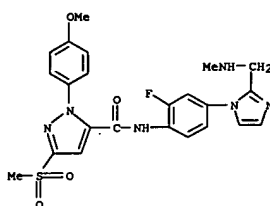
RN 543744-87-2 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 5-[[[2-fluoro-4-[2-[(methylamino)methyl]-1H-imidazol-1-yl]phenyl]amino]carbonyl]-1-(4-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 543744-82-7 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2-fluoro-4-[2-[(methylamino)methyl]-1H-imidazol-1-yl]phenyl]-1-(4-methoxyphenyl)-3-(methylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 543744-81-6
CMF C23 H23 F N6 O4 S



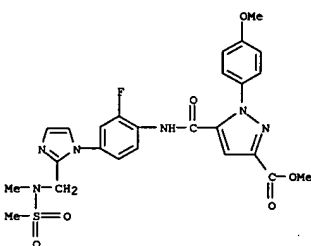
CH 2

CRN 76-05-1
CMF C2 H F3 O2



RN 543744-85-0 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 5-[[[2-fluoro-4-[2-[(methylamino)methyl]-1H-imidazol-1-yl]phenyl]amino]carbonyl]-1-(4-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



ACCESSION NUMBER: 2003:454067 CAPLUS

DOCUMENT NUMBER: 139:36524

TITLE: Preparation of novel N-[4-(1H-imidazol-1-yl)-2-fluorophenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamides as factor Xa inhibitors

INVENTOR(S): Quan, Mimi L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXKX2

DOCUMENT TYPE: Patent

LANGUAGE: English

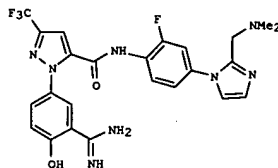
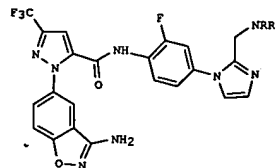
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047517	A2	20030612	WO 2002-US38168	20021126
WO 2003047517	A3	20040226		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GN, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003144287	A1	20030731	US 2002-302184	20021122
EP 1460996	A2	20040929	EP 2002-789922	20021126
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
PRIORITY APPLN. INFO.:			US 2001-336972P	P 20011204
			WO 2002-US38168	W 20021126

OTHER SOURCE(S): MARPAT 139:36524

GI



AB N-[4-(1H-imidazol-1-yl)-2-fluorophenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamides of formula I [R = H, alkyl; R1 = H, acyl, etc.] and derivs. thereof are prepared which are useful as inhibitors of factor Xa. Thus,

II was prepared in several steps. The prepared compds. had Ki values of ≤ 10 μM against human factor Xa.

IT 540510-36-9P 540510-43-8P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

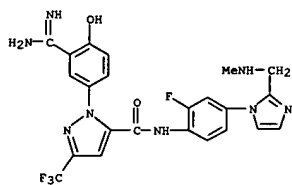
(preparation of imidazolylphenyl pyrazolecarboxamide derivs. as factor Xa inhibitors)

RN 540510-36-9 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)-4-hydroxyphenyl]-N-[2-fluoro-4-[2-[(methylamino)methyl]-1H-imidazol-1-yl]phenyl]-3-(trifluoromethyl)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 540510-35-8

CMF C23 H20 F4 N8 O2



CM 2

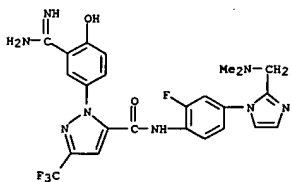
CRN 76-05-1

CMF C2 H F3 O2



RN 540510-43-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)-4-hydroxyphenyl]-N-[4-[2-[(dimethylamino)methyl]-1H-imidazol-1-yl]-2-fluorophenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



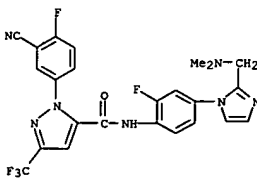
IT 405940-74-1P 540510-45-0P 540510-49-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazolylphenyl pyrazolecarboxamide derivs. as factor Xa inhibitors)

RN 405940-74-1 CAPLUS

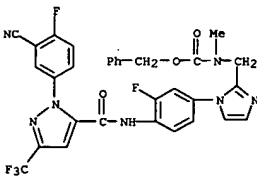
CN 1H-Pyrazole-5-carboxamide, 1-[3-(cyano-4-fluorophenyl)-N-[4-[2-[(dimethylamino)methyl]-1H-imidazol-1-yl]-2-fluorophenyl]-3-

(trifluoromethyl)- (9CI) (CA INDEX NAME)



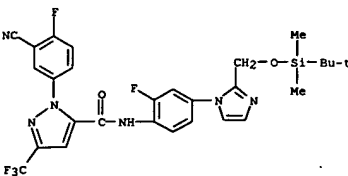
RN 540510-45-0 CAPLUS

CN Carbamic acid,
[[1-[4-[[[1-(3-cyano-4-fluorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]amino]-3-fluorophenyl]-1H-imidazol-2-yl]methyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 540510-49-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(cyano-4-fluorophenyl)-N-[4-[2-[[[1,1-dimethylethyl]dimethylsilyl]oxy]methyl]-1H-imidazol-1-yl]-2-fluorophenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:240767 CAPLUS
 DOCUMENT NUMBER: 136:281142
 TITLE: Efficient process for the preparation of a factor Xa inhibitor
 INVENTOR(S): Sunkara, Hari Babu; Yang, Yali
 PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
 SOURCE: PCT Int. Appl., 51 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024690	A2	20020328	WO 2001-US28406	20010912
WO 2002024690	C1	20020808		
WO 2002024690	A3	20030925		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DL, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2424576	AA	20020328	CA 2001-2424576	20010912
AU 2001092612	A5	20020402	AU 2001-92612	20010912
EP 1366045	A2	20031203	EP 2001-972987	20010912
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004529853	T2	20040930	JP 2002-529100	20010912
EE 200300116	A	20050415	EE 2003-116	20010912
BR 2001014102	A	20050419	BR 2001-14102	20010912
US 2002061917	A1	20020523	US 2001-960040	20010921
US 6667332	B2	20031223		
TW 593314	B	20040621	TW 2001-90123363	20010921
NO 2003001308	A	20030507	NO 2003-1308	20030321
US 2003212117	A1	20031113	US 2003-431265	20030507
US 6747158	B2	20040608		
BG 107813	A	20040130	BG 2003-107813	20030513
US 2004198787	A1	20041007	US 2004-826099	20040415
PRIORITY APPLN. INFO.:			US 2000-234622P	P 20000922
			WO 2001-US28406	W 20010912
			US 2001-960040	A3 20010921
			US 2003-431265	A3 20030507

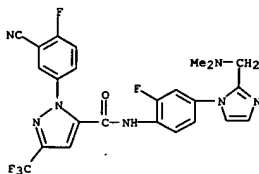
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to the process for the preparation of the compound

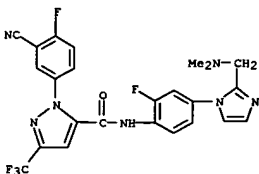
L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 I.HCl, useful as a factor Xa inhibitor, from compd. II and intermediates useful therein. The improved process involves reacting unpurified II with maleic acid in EtOAc, pptg. the resulting compd. I by adding BuCl to the reaction mixt., reacting I with HONHCOMe in a solvent in the presence of K₂CO₃, Na₂CO₃, KHCO₃, NaHCO₃, KF, NaOH, or KOH, and contacting the resulting product with HCl.
 IT 405940-74-1P 405940-75-2P
 RL: IMF (Industrial manufacture); RCT (Réactant); PREP (Preparation);

RACT (Reactant or reagent)
 (precursor):
 aminomethylimidazolophenylaminocarbonylbenzisoxazolyltrifluoromethylpyrazole factor Xa inhibitor manuf
 RN 405940-74-1 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-4-fluorophenyl)-N-[4-{2-[(dimethylamino)methyl]-1H-imidazol-1-yl}-2-fluorophenyl]-3-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)



RN 405940-75-2 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-4-fluorophenyl)-N-[4-{2-[(dimethylamino)methyl]-1H-imidazol-1-yl}-2-fluorophenyl]-3-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

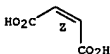
CM 1
 CRN 405940-74-1
 CMF C24 H18 F5 N7 O



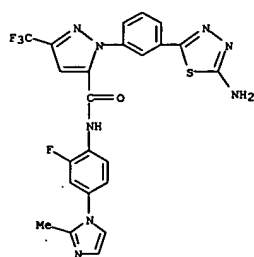
L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CM 2

CRN 110-16-7
 CMF C4 H4 O4

Double bond geometry as shown.



GI



CN 2

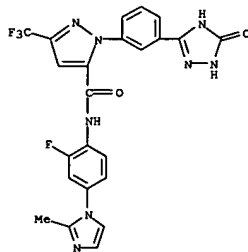
CRN 76-05-1

CMF C2 H F3 O2



RN 385833-29-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)phenyl]-N-(2-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

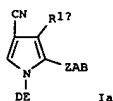


L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:338499 CAPLUS
 DOCUMENT NUMBER: 134:348280
 TITLE: Cyano compounds as factor Xa inhibitors
 INVENTOR(S): Pinto, Donald J. P.
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 66 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032628	A1	20010510	WO 2000-US30209	20001102
W: US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 6407256	B1	20020618	US 2000-686382	20001011
EP 1226123	A1	20020731	EP 2000-976822	20001102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
PRIORITY APPL. INFO.: US 1999-163268P P 19991103				
WO 2000-US30209 W 20001102				

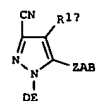
OTHER SOURCE(S): MARPAT 134:348280
 GI



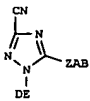
Ia



Ib



Ic



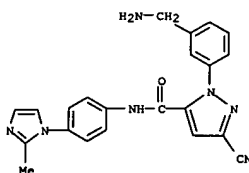
Id

AB The present application describes inhibitors of factor Xa which are cyano-pyrazole, cyano-triazole, cyano-imidazole, and cyano-pyrrole compds. of Formulas Ia, Ib, Ic, and Id: or pharmaceutically acceptable salt forms thereof. Markush structures and exemplary compds. of the invention are given (no data).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

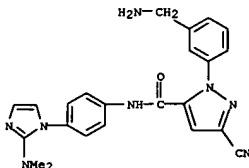
L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT 338946-83-1 338946-84-2 338946-87-5
 338946-88-6
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);
 USES (Uses)
 (cyano compds. as factor Xa inhibitors)
 RN 338946-83-1 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-3-cyano-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



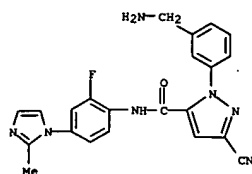
RN 338946-84-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-3-cyano-N-[4-(2-(dimethylamino)-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)

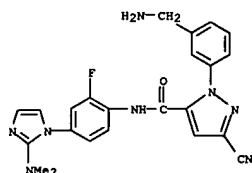


RN 338946-87-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-3-cyano-N-[2-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 338946-88-6 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-3-cyano-N-[4-(2-(dimethylamino)-1H-imidazol-1-yl)-2-fluorophenyl]- (9CI) (CA INDEX NAME)

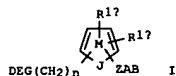


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

ACCESSION NUMBER: 2000:83115 CAPLUS
DOCUMENT NUMBER: 132:137392
TITLE: Preparation of azoles as Factor Xa inhibitors.
INVENTOR(S): Pinto, Donald Joseph Phillip; Pruitt, James Russell; Cacciola, Joseph; Fevig, John Matthew; Han, Qi;
Orwat,
Michael James; Quan, Mimi Lifan; Rossi, Karen Anita
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Co., USA
SOURCE: U.S., 152 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6020357	A	20000201	US 1997-995834	19971222
US 6548512	B1	20030415	US 2000-492708	20000127
			US 1996-33437P	P 19961223
			US 1997-50304P	P 19970620
			US 1997-995834	A3 19971222

OTHER SOURCE(S): MARPAT 132:137392
GI

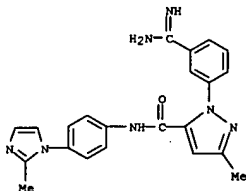


L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

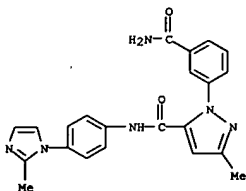
CM 2
CRN 76-05-1
CMF C2 H F3 O2



RN 209956-30-9 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)phenyl]-3-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)

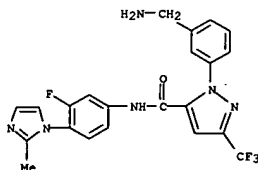


RN 209956-31-0 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)phenyl]-3-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)

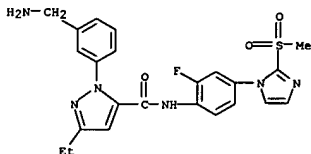


RN 209956-75-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



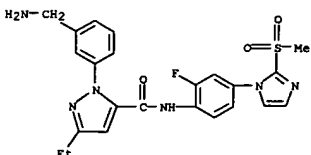
RN 209957-98-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-3-ethyl-N-[2-fluoro-4-(2-methylsulfonyl)-1H-imidazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



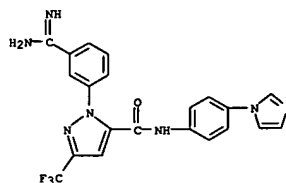
RN 209957-99-3 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-3-ethyl-N-[2-fluoro-4-(2-methylsulfonyl)-1H-imidazol-1-yl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209957-98-2
CMF C23 H23 F N6 O3 S



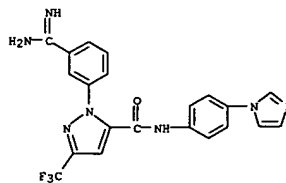
L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 209956-76-3 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209956-75-2
CMF C21 H16 F3 N7 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 209957-83-5 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[3-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

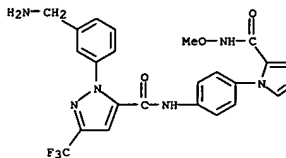
L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 76-05-1
CMF C2 H F3 O2



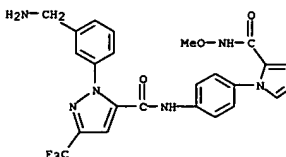
RN 209958-28-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[4-[5-(methoxyamino)carbonyl]-1H-imidazol-1-yl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 209958-29-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[4-[5-(methoxyamino)carbonyl]-1H-imidazol-1-yl]phenyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209958-28-1
CMF C23 H20 F3 N7 O3



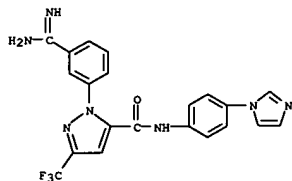
CM 2



RN 256512-19-3 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 209956-75-2
 CHF C21 H16 F3 N7 O



CH 2

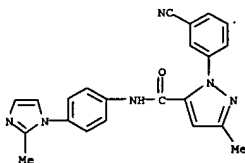
CRN 76-05-1
 CHF C2 H F3 O2



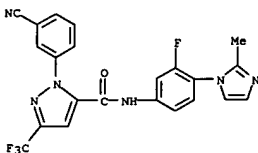
RN 256512-30-8 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[3-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

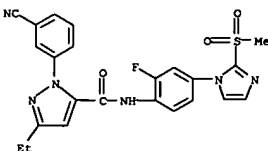
CRN 209957-83-5
 CHF C22 H18 F4 N6 O



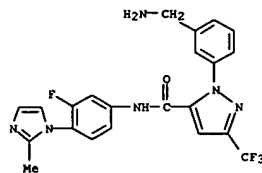
RN 209960-28-1 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-N-[3-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 209960-40-7 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-3-ethyl-N-[2-fluoro-4-(2-methylsulfonyl)-1H-imidazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 209960-72-5 CAPLUS
 CN 1H-imidazole-5-carboxylic acid, 1-[4-[[[1-(3-cyanophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]amino]phenyl]-, butyl ester (9CI) (CA INDEX NAME)

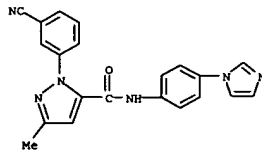


CH 2

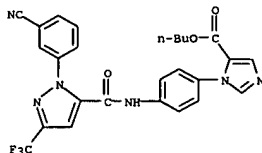
CRN 76-05-1
 CHF C2 H F3 O2



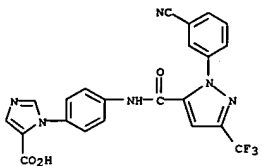
IT 209958-75-8P 209958-49-8P 209960-28-1P
 209960-40-7P 209960-72-5P 209960-73-6P
 209960-74-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of azoles as Factor Xa inhibitors)
 RN 209958-75-8 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-N-[4-(1H-imidazol-1-yl)phenyl]-3-methyl- (9CI) (CA INDEX NAME)



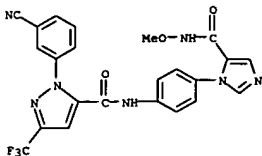
RN 209959-49-9 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-3-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 209960-73-6 CAPLUS
 CN 1H-imidazole-5-carboxylic acid, 1-[4-[[[1-(3-cyanophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 209960-74-7 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-N-[4-[5-[(methoxyamino)carbonyl]-1H-imidazol-1-yl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

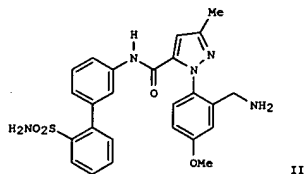


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

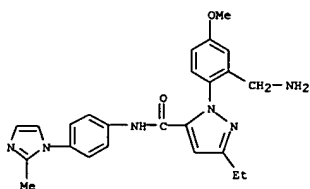
L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1999:421659 CAPLUS
 DOCUMENT NUMBER: 131:58820
 TITLE: Preparation of nitrogen heteroaromatics as blood coagulation factor Xa inhibitors
 INVENTOR(S): Galemme, Robert A., Jr.; Pinto, Donald J. P.; Bostrom, Lori L.; Rossi, Karen Anita
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 237 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932454	A1	19990701	WO 1998-US26427	19981211
CA 2314401	AA	19990701	CA 1998-2314401	19981211
AU 9917244	A1	19990712	AU 1999-17244	19981211
BR 9813835	A	20001010	BR 1998-13835	19981211
EP 1042299	A1	20001011	EP 1998-962082	19981211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001526268	T2	20011218	JP 2000-525391	19981211
ZA 9811517	A	20000615	ZA 1998-11517	19981215
US 6271237	B1	20010807	US 1998-217336	19981221
US 2002016326	A	20020207	US 2001-833302	20010412
US 6548525	B2	20030415		
PRIORITY APPLN. INFO.:			US 1997-68491P	P 19971222
			US 1997-996447	A 19971222
			US 1998-101075P	P 19980918
			WO 1998-US26427	W 19981211
			US 1998-217336	A3 19981221

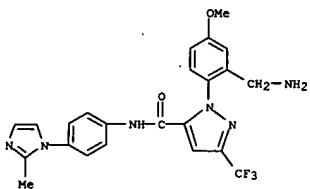
OTHER SOURCE(S): MARPAT 131:58820
 GI



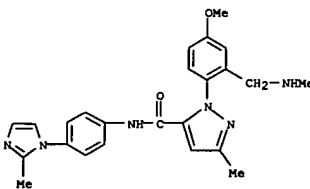
L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 228257-98-5 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 228257-99-6 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[4-methoxy-2-[(methylamino)methyl]phenyl]-3-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 228258-00-2 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 3-ethyl-1-[4-methoxy-2-[(methylamino)methyl]phenyl]-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]-

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

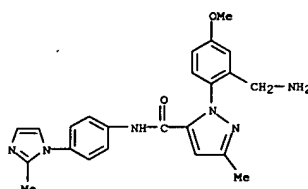
AB DEG(CH2)SMZAB [I: D = cyano, amino(alkyl), amidino, etc.; E = (un)substituted phenylene, -pyrimidinediyl, -pyrimidinediyl, etc.; G = bond, NHCH2, OCH2, SCH2; M = (un)substituted pyrrolylene, -di-, -tri-, or -tetrazolylene; Z = (heteroatom-interrupted) (oxo)alkylene, oxyalkylene, alkyleneoxy, etc.; A = (un)substituted carbocyclic residue (sic) or -heterocyclene; B = amino(alkyl), amidino, ureido, (un)substituted carbocyclic residue, etc.; s = 0-2] were prepared. Thus, 2-hydrazino-5-methoxybenzoic acid was cyclocondensed with MeCOCH2C(=NOMe)CO2Et (preparation each given) and the product converted in 3 steps to 3-methyl-1-(2-azidomethyl-4-methoxyphenyl)-1H-pyrazole-5-carboxylic acid which was amidated by 4-(H2N)C6H4C(=O)NHC(=O)Me3-2 to give, in 2 addnl. steps, title compound II. Data for biol. activity of I were given.

IT 228257-96-3P 228257-97-4P 228257-98-5P
 228257-99-6P 228258-00-2P 228258-01-3P
 228258-02-4P 228258-03-5P 228258-04-6P
 228258-05-7P 228258-06-8P 228258-07-9P
 228258-08-0P 228258-09-1P 228258-10-4P
 228258-11-5P 228258-12-6P 228258-13-7P
 228258-14-8P 228258-15-9P 228258-16-0P
 228258-17-1P 228258-18-2P 228258-19-3P

RL: BAC (Biological activity or effector, except adverse); BSU

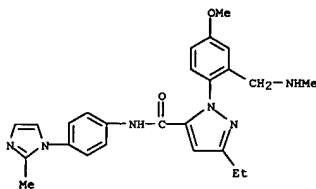
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of nitrogen heteroaroms. as blood coagulation factor Xa inhibitors)

RN 228257-96-3 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-3-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)

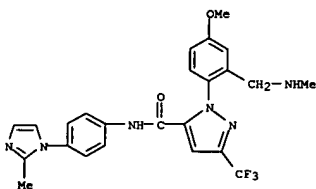


RN 228257-97-4 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-3-ethyl-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)

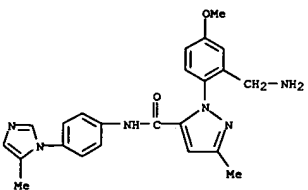
L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



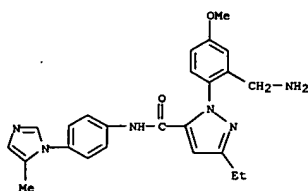
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 CN 1H-Pyrazole-5-carboxamide, 1-[4-methoxy-2-[(methylamino)methyl]phenyl]-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



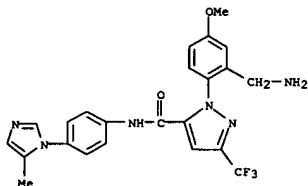
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 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-3-methyl-N-[4-(5-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



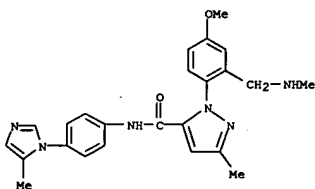
L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 228258-03-5 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-3-ethyl-N-[4-(5-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



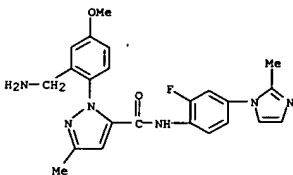
RN 228258-04-6 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-N-[4-(5-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



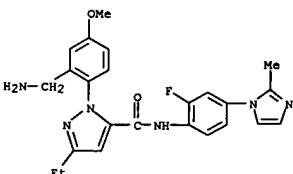
RN 228258-05-7 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[4-methoxy-2-[(methylamino)methyl]phenyl]-3-methyl-N-[4-(5-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



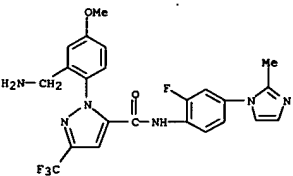
L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 228258-09-1 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-3-ethyl-N-[2-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



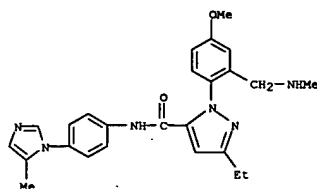
RN 228258-10-4 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-N-[2-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



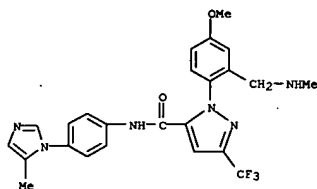
RN 228258-11-5 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, N-[2-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-1-[4-methoxy-2-[(methylamino)methyl]phenyl]-3-methyl- (CA INDEX NAME)

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 228258-06-8 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 3-ethyl-1-[4-methoxy-2-[(methylamino)methyl]phenyl]-N-[4-(5-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



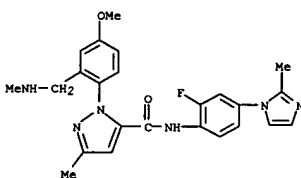
RN 228258-07-9 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[4-methoxy-2-[(methylamino)methyl]phenyl]-N-[4-(5-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



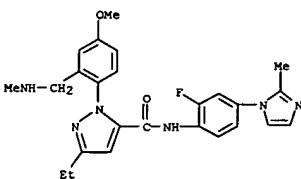
RN 228258-08-0 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-N-[2-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-methyl- (9CI) (CA INDEX NAME)



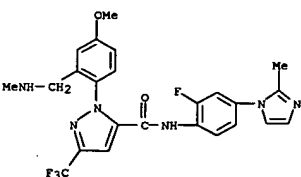
L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 228258-12-6 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 3-ethyl-N-[2-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-1-[4-methoxy-2-[(methylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

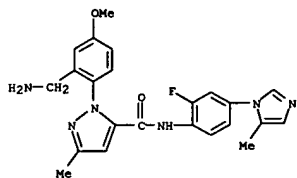


RN 228258-13-7 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, N-[2-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-1-[4-methoxy-2-[(methylamino)methyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

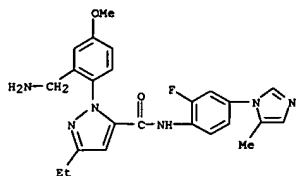


RN 228258-14-8 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-N-[2-fluoro-

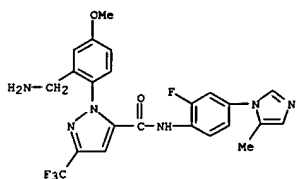
L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
4-(5-methyl-1H-imidazol-1-yl)phenyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 228258-15-9 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-3-ethyl-N-[2-fluoro-4-(5-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



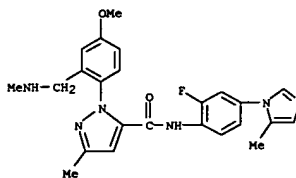
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CN 1H-Pyrazole-5-carboxamide, 1-[2-(aminomethyl)-4-methoxyphenyl]-N-[2-fluoro-4-(5-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



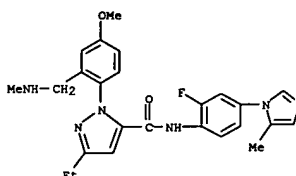
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CN 1H-Pyrazole-5-carboxamide, N-[2-fluoro-4-(5-methyl-1H-imidazol-1-yl)phenyl]-1-[2-(aminomethyl)-4-methoxyphenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

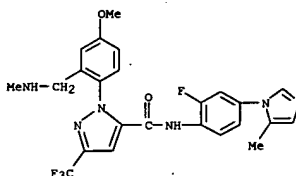
L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
yl)phenyl]-1-[4-methoxy-2-[(methylamino)methyl]phenyl]-3-methyl- (9CI)
(CA INDEX NAME)



RN 228258-18-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 3-ethyl-N-[2-fluoro-4-(5-methyl-1H-imidazol-1-yl)phenyl]-1-[4-methoxy-2-[(methylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 228258-19-3 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2-fluoro-4-(5-methyl-1H-imidazol-1-yl)phenyl]-1-[4-methoxy-2-[(methylamino)methyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



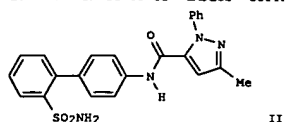
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:9820 CAPLUS
DOCUMENT NUMBER: 130:81510
TITLE: Preparation of phenylpyrazolecarboxamides as coagulation factor Xa inhibitors
INVENTOR(S): Galemno, Robert Anthony, Jr.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Lam, Patrick Yuk-sun; Pinto, Donald Joseph Philip; Pruitt, James Russell; Quan, Mimi Lifan
PATENT ASSIGNEE(S): The Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857937	A2	19981223	WO 1998-US12681	19980618
WO 9857937	A3	19990318		
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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9805251	A	19991217	ZA 1998-5251	19980617
CA 2290982	AA	19981223	CA 1998-2290982	19980618
AU 9881503	A1	19990104	AU 1998-81503	19980618
US 5998424	A	19991207	US 1998-99752	19980618
EP 991625	A2	20000412	EP 1998-931355	19980618
EP 991625	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9810151	A	20000808	BR 1998-10151	19980618
EE 9900584	A	20000815	EE 1999-584	19980618
SI 20208	C	20001031	SI 1998-20043	19980618
JP 2002507968	T2	20020312	JP 1999-504786	19980618
AT 296805	E	20050615	AT 1998-931355	19980618
US 6403620	B1	20020611	US 1999-393782	19990910
LV 12516	B	20010320	LV 1999-177	19991216
NO 9906316	A	19991217	NO 1999-6316	19991217
LT 4702	B	20000925	LT 1999-146	19991217
US 2003092740	A1	20030515	US 2002-150698	20020516
US 6602895	B2	20030805		
PRIORITY APPLN. INFO.:				
			US 1997-50219P	P 19970619
			US 1997-878885	A 19970619
			US 1998-76691P	P 19980227
			US 1998-99752	A3 19980618
			WO 1998-US12681	W 19980618
			US 1999-393782	A3 19990910

OTHER SOURCE(S): MARPAT 130:81510
GI



AB EZ1M [I: E = halo, OH, alkyl, alkoxy, etc.; M = Z2ZAB; A = (un)substituted carbocyclene, -heterocyclene; B = H, Y, XY; X = alkylene, CO, O, (un)substituted NH, etc.; Y = amino(alkyl), substituted carbocyclyl, -heterocyclyl, etc.; Z = bond, (heteroatom- or functional group-interrupted) alkylene, etc.; Z1 = (un)substituted Ph, Z2 = heteroarylene, etc.] were prepared. Thus, MeCOCH2C(=NOMe)CO2Et was cyclocondensed with PhNHNH2 and the product amidated by 4-(H2N)C6H4C6H4(SO2NHMe3)-2 to give, after deprotection, title compound II.

IT 218630-58-1P 218630-59-2P 218630-60-5P

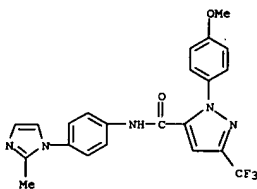
218630-61-6P 218630-62-7P 218630-63-8P
218630-64-9P 218630-65-0P 218630-66-1P
218630-67-6P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phenylpyrazolecarboxamides as coagulation factor Xa inhibitors)

RN 218630-58-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(4-methoxyphenyl)-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



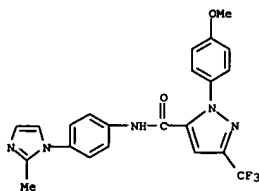
RN 218630-59-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(4-methoxyphenyl)-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 218630-58-1

CMF C22 H18 F3 N5 O2



CM 2

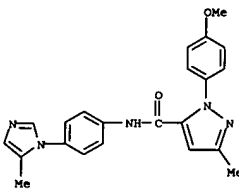
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RN 218630-60-5 CAPLUS

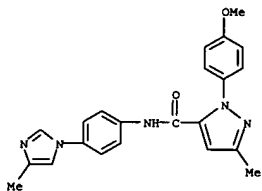
CN 1H-Pyrazole-5-carboxamide, 1-(4-methoxyphenyl)-3-methyl-N-[4-(5-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 218630-61-6 CAPLUS

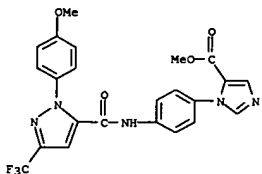
CN 1H-Pyrazole-5-carboxamide, 1-(4-methoxyphenyl)-3-methyl-N-[4-(4-methyl-1H-

imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



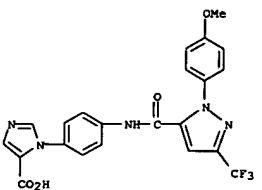
RN 218630-62-7 CAPLUS

CN 1H-Imidazole-5-carboxylic acid, 1-[4-[[[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



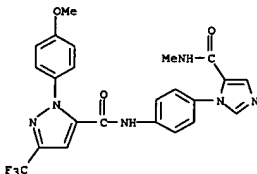
RN 218630-63-8 CAPLUS

CN 1H-Imidazole-5-carboxylic acid, 1-[4-[[[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



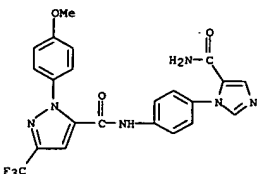
RN 218630-64-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(4-methoxyphenyl)-N-[4-(5-[(methylamino)carbonyl]-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



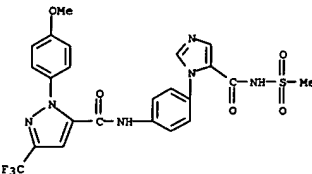
RN 218630-65-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-(5-(aminocarbonyl)-1H-imidazol-1-yl)phenyl]-1-(4-methoxyphenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

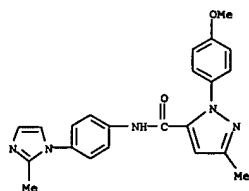


RN 218630-66-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(4-methoxyphenyl)-N-[4-(5-[(methylsulfonyl)amino]carbonyl)-1H-imidazol-1-yl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 218630-87-6 CAPLUS
 CN 1H-Pyrazole-5-carboxamide,
 1-(4-methoxyphenyl)-3-methyl-N-[4-(2-methyl-1H-
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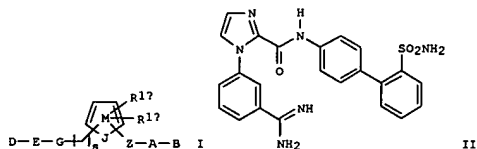


L6 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1998:479506 CAPLUS
 DOCUMENT NUMBER: 129:109090
 TITLE: Preparation of nitrogen-containing heteroaromatics as
 factor Xa inhibitors
 INVENTOR(S): Pinto, Donald Joseph Phillip; Pruitt, James Russell;
 Cacciola, Joseph; Fevig, John Matthew; Han, Qi;
 Orwat,
 PATENT ASSIGNEE(S): Michael James; Quan, Mimi Lifan; Rossi, Karen Anita
 The Dupont Merck Pharmaceutical Co., USA
 SOURCE: PCT Int. Appl., 438 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9828269	A1	19980702	WO 1997-US22895	19971215
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MK, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TW				
RM: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2275796	AA	19980702	CA 1997-2275796	19971215
AU 9856020	A1	19980717	AU 1998-56020	19971215
AU 730224	B2	20010301		
EP 946508	A1	19991006	EP 1997-952409	19971215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
EE 9900316	A	20000215	EE 1999-316	19971215
SI 20017	C	20000229	SI 1997-20082	19971215
CN 1246847	A	20000308	CN 1997-181852	19971215
BR 9714073	A	20000509	BR 1997-14073	19971215
JP 2001509145	T2	20010710	JP 1998-528845	19971215
ZA 9711586	A	19990701	ZA 1997-11586	19971223
TW 492971	B	20020701	TW 1997-86119637	19980203
NO 9902633	A	19990820	NO 1999-2633	19990601
NO 313190	B1	20020826		
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LT 4673	B	20000725	LT 1999-76	19990622
LV 12430	B	20000720	LV 1999-99	19990730
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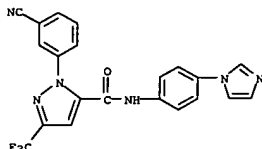
OTHER SOURCE(S): MARPAT 129:109090
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L6 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

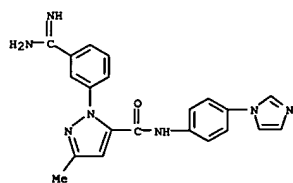


AB The title compds. [I; ring M contains, in addition to J, 0-3 N atoms; J = N, NH; D = CN, C(:NR8)NR7R9, C(O)NR7R8, etc.; E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; DEG = R-substituted pyridyl; R = H, halo, CF3, etc.; G = absent, NHCH2, OCH2, etc.; Z = C1-4 alkylene, (CH2)ro(CH2)r, etc.; R1a, R1b = absent, NMe, OMe, etc.; A = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S; B = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S, etc.; R7 = H, OH, C1-6 alkyl, etc.; R8, R9 = H, C1-6 alkyl, (CH2)nPh; n = 0-3; r = 0-3; s = 0-2], useful as inhibitors of factor Xa, were prepared and formulated. Thus, treatment of 4-[o-(tert-BuSO2)phenyl]aniline with Me3Al/hexane in CH2Cl2 followed by the addition of Me 1-(3-cyanophenyl)imidazol-2-ylcarboxylate (preparation described), and the Pinner reaction of the resulting intermediate afforded the title compound II. A number of compds. I were found to exhibit a Ki of ≤ 10 μM against factor Xa. Some compds. I were evaluated and found to exhibit Ki of < 10 μM against thrombin.
 IT 209955-42-09
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of nitrogen-containing heteroaroms. as factor Xa inhibitors)
 RN 209955-42-0 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[3-(cyanophenyl)-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 209954-63-2P 209954-64-3P 209955-43-1P
 209956-30-9P 209956-31-0P 209956-75-2P
 209956-76-3P 209957-83-5P 209957-84-6P
 209957-98-2P 209957-99-3P 209958-28-1P
 209958-29-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of nitrogen-containing heteroaroms. as factor Xa inhibitors)
 RN 209954-63-2 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-3-methyl- (9CI) (CA INDEX NAME)
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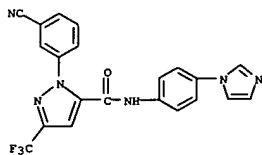


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CRN 76-05-1
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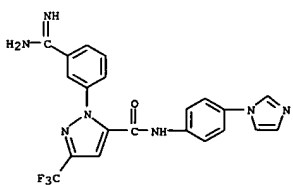


RN 209955-43-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(cyanophenyl)-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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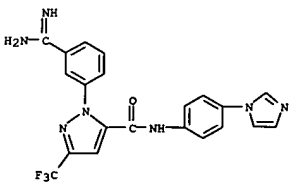


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CRN 76-05-1
CMF C2 H F3 O2



RN 209956-76-3 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 209956-75-2
CMF C21 H16 F3 N7 O



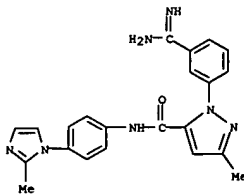
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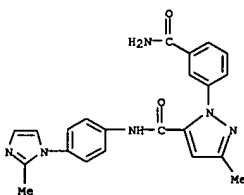
RN 209957-83-5 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[3-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



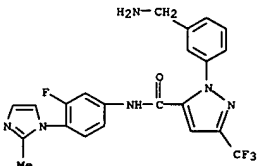
RN 209956-30-9 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)phenyl]-3-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 209956-31-0 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)phenyl]-3-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)

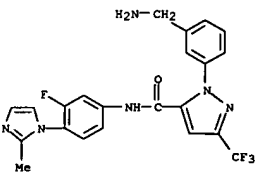


RN 209956-75-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 209957-84-6 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[3-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

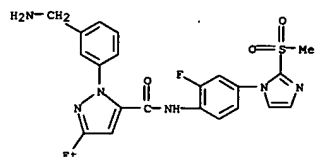
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CM 2
CRN 76-05-1
CMF C2 H F3 O2



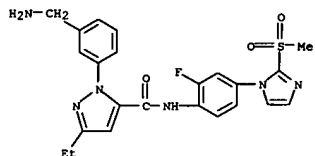
RN 209957-98-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[2-fluoro-4-[2-(methylsulfonyl)-1H-imidazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 209957-99-3 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-3-ethyl-N-[2-fluoro-4-(2-methylsulfonyl)-1H-imidazol-1-yl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 209957-98-2
CMF C23 H23 F N6 O3 S

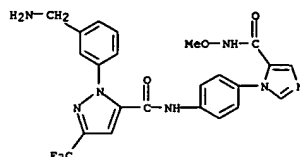


CM 2

CRN 76-05-1
CMF C2 H F3 O2



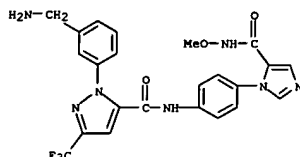
RN 209958-28-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[4-{5-[(methoxyamino)carbonyl]-1H-imidazol-1-yl}phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 209958-29-2 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)phenyl]-N-[4-{5-[(methoxyamino)carbonyl]-1H-imidazol-1-yl}phenyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 209958-28-1
CMF C23 H20 F3 N7 O3



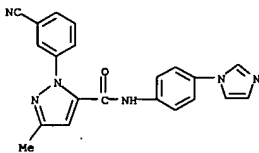
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CRN 76-05-1
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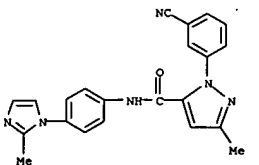


IT 209958-75-8P 209959-49-9P 209960-28-1P
209960-40-7P 209960-72-5P 209960-73-6P
209960-74-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nitrogen-containing heteroaroms. as factor Xa inhibitors)
RN 209958-75-8 CAPLUS

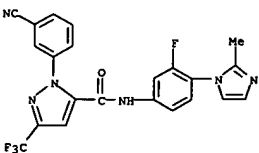
CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-N-[4-{(1H-imidazol-1-yl)phenyl]-3-methyl- (9CI) (CA INDEX NAME)



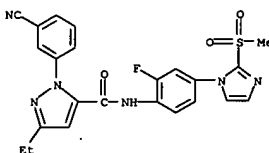
RN 209959-49-9 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-3-methyl-N-[4-(2-methyl-1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



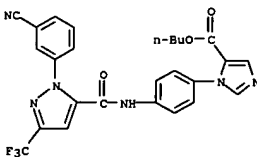
RN 209960-28-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-N-[3-fluoro-4-(2-methyl-1H-imidazol-1-yl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



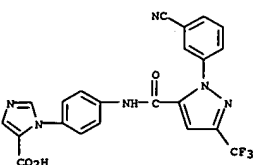
RN 209960-40-7 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-3-ethyl-N-[2-fluoro-4-(2-methylsulfonyl)-1H-imidazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



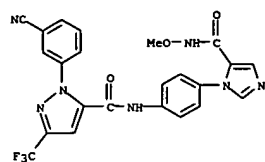
RN 209960-72-5 CAPLUS
CN 1H-imidazole-5-carboxylic acid, 1-[4-{[(1-(3-cyanophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl)carbonyl]amino}phenyl]-, butyl ester (9CI) (CA INDEX NAME)



RN 209960-73-6 CAPLUS
CN 1H-imidazole-5-carboxylic acid, 1-[4-{[(1-(3-cyanophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl)carbonyl]amino}phenyl]- (9CI) (CA INDEX NAME)



RN 209960-74-7 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-(3-cyanophenyl)-N-[4-{5-[(methoxyamino)carbonyl]-1H-imidazol-1-yl}phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

59.73

232.68

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-8.76

-8.76

STN INTERNATIONAL LOGOFF AT 12:33:11 ON 10 AUG 2005